STN- Structure Search 8.23-05

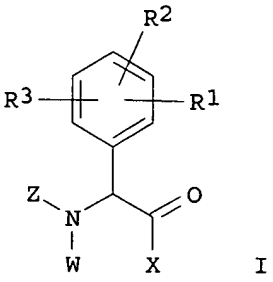
10/775,923

=> d ibib abs hitstr 1-9

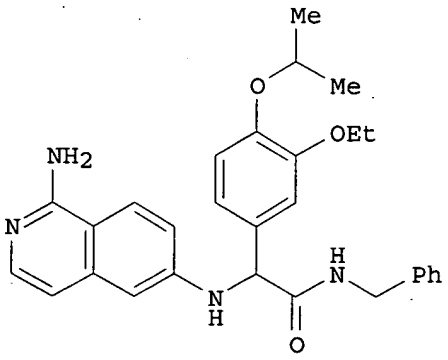
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:702038 CAPLUS
DOCUMENT NUMBER: 141:225835
TITLE: Preparation of benzeneacetamide compounds useful as serine protease inhibitors
Inventors
INVENTOR(S): Bisacchi, Gregory S.; Treuner, Uwe D.; Morton, George C.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072102	A2	20040826	WO 2004-US3962	20040210
WO 2004072102	A3	20050203		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004176375	A1	20040909	US 2004-775443	20040210

PRIORITY APPLN. INFO.: US 2003-446578P P 20030211
OTHER SOURCE(S): MARPAT 141:225835
GI

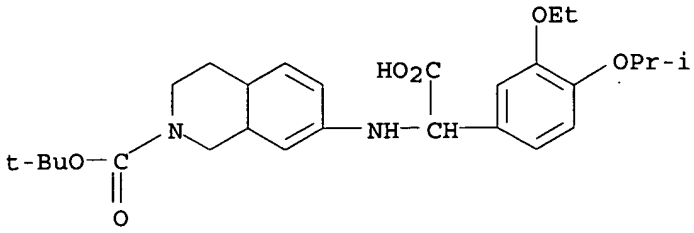


I



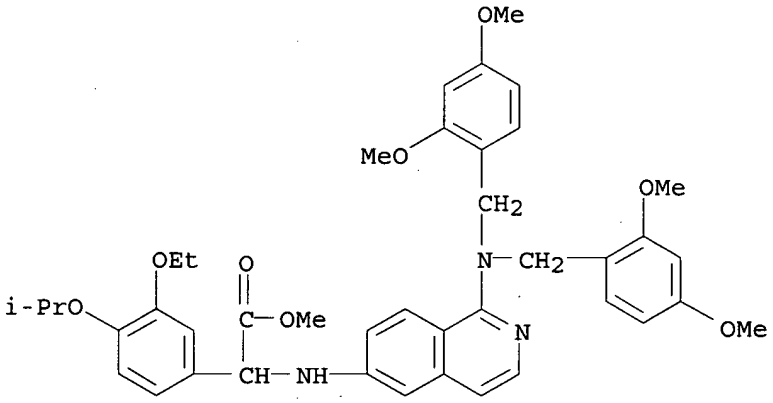
II

AB The title compds. [I; X = OH, O(alkyl), O(aryl), O(arylalkyl), NR5(aryl), NR5(arylalkyl); W = H, (CR7R8)qH; Z = 5-membered heteroaryl group, a 5-6 membered heterocyclyl or cycloalkyl group, a 9-10 membered bicyclic aryl or heteroaryl, or a 6-membered aryl or heteroaryl; R1-R3 = H, halo, CN, NO2, etc.; R5 = H, alkyl, NH2, alkylamino, OH, alkoxy; R7, R8 = H, alkyl, halo, etc.] which are useful as serine protease inhibitors, were prepared E.g, a multi-step synthesis of II, starting from 3-ethoxy-4-isopropoxybenzaldehyde, was given. The compds. I showed Ki of ≤ 25

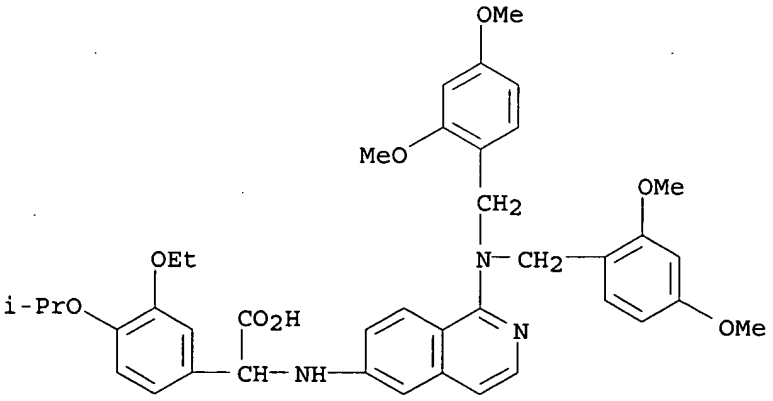


IT **745830-49-3P 745830-50-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylglycine derivs. as inhibitors of coagulation factor VIIa for treatment of thromboembolic disorders)

RN 745830-49-3 CAPLUS
CN Benzeneacetic acid, α-[[1-[bis[(2,4-dimethoxyphenyl)methyl]amino]-6-isoquinolinyl]amino]-3-ethoxy-4-(1-methylethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 745830-50-6 CAPLUS
CN Benzeneacetic acid, α-[[1-[bis[(2,4-dimethoxyphenyl)methyl]amino]-6-isoquinolinyl]amino]-3-ethoxy-4-(1-methylethoxy)- (9CI) (CA INDEX NAME)



On Verso)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:702037 CAPLUS
DOCUMENT NUMBER: 141:225834

10/775,923

TITLE: Preparation of phenylglycine sulfonamide derivatives useful as serine protease inhibitors

INVENTOR(S): Glunz, Peter W.; Bisacchi, Gregory S.; Morton, George C.; Holubec, Alexandra A.; Priestley, E. Scott; Zhang, Xiaojun; Treuner, Uwe D.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072101	A2	20040826	WO 2004-US3961	20040210
WO 2004072101	A3	20050324		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004204412	A1	20041014	US 2004-775923	20040210
PRIORITY APPLN. INFO.:			US 2003-446578P	P 20030211
			US 2003-520781P	P 20031117

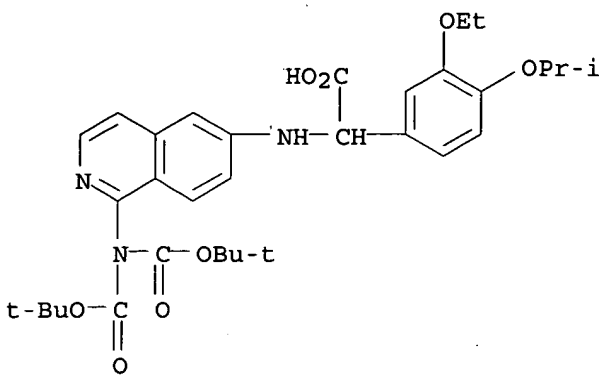
OTHER SOURCE(S): MARPAT 141:225834

AB Phenylglycine derivs. Z-N(W)CHRCO-X [X is NR6S(O)pR16, where p is 1 or 2, R6 is H, alkyl, NH2, alkylamino, OH or alkoxy and R16 is (un)substituted alkyl or alkenyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; W is H or (CR7R8)1-3-W1, where W1 is H or a bond with R6 and R7/R8 are H, alkoxy, amino, alkylsulfonylamino, alkyl, etc.; Z is an optionally-substituted 5-membered heteroaryl, 5- or 6-membered heterocyclyl or cycloalkyl, 9- or 10-membered bicyclic aryl or heteroaryl or 6-membered aryl or heteroaryl ring; R is (un)substituted phenyl], including stereoisomers and pharmaceutically-acceptable salts, were prepared as inhibitors of serine proteases such as factor VIIa. Thus, N-[(3-ethoxy-4-isopropoxyphenyl)(1,2,3,4-tetrahydroisoquinolin-7-ylamino)acetyl]benzenesulfonamide TFA salt was prepared by a multistep procedure involving condensation of 3-ethoxy-4-isopropoxybenzaldehyde, 7-amino-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester, and benzyl isonitrile as key step.

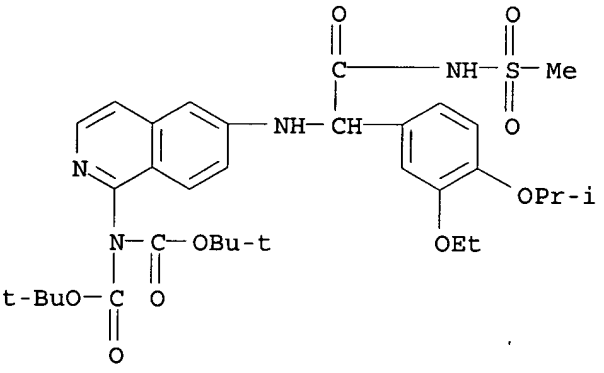
IT **745019-99-2P**
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(preparation of phenylglycine sulfonamide derivs. useful as serine protease inhibitors)

RN 745019-99-2 CAPLUS

CN Benzeneacetamide, α-[[1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-6-isoquinolinyl]amino]-3-ethoxy-4-(1-methylethoxy)-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 745020-25-1 CAPLUS
CN Imidodicarbonic acid, [6-[[1-[3-ethoxy-4-(1-methylethoxy)phenyl]-2-[(methylsulfonyl)amino]-2-oxoethyl]amino]-1-isoquinolinyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:133044 CAPLUS
DOCUMENT NUMBER: 138:187647
TITLE: Preparation of phenyl derivatives as coagulation factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013531	A1	20030220	WO 2002-EP7798	20020712

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

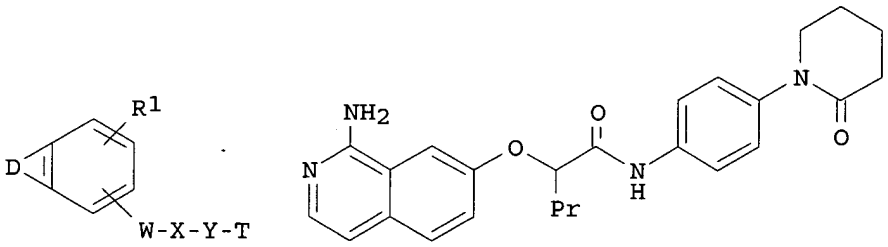
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10139060	A1	20030220	DE 2001-10139060	20010808
CA 2456717	AA	20030220	CA 2002-2456717	20020712
EP 1414456	A1	20040506	EP 2002-760242	20020712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011737	A	20040928	BR 2002-11737	20020712
CN 1538845	A	20041020	CN 2002-815482	20020712
JP 2005501075	T2	20050113	JP 2003-518540	20020712
US 2004235828	A1	20041125	US 2004-486238	20040209
ZA 2004001800	A	20050204	ZA 2004-1800	20040304

PRIORITY APPLN. INFO.: DE 2001-10139060 A 20010808
WO 2002-EP7798 W 20020712

OTHER SOURCE(S): CASREACT 138:187647; MARPAT 138:187647

GI



I II

AB Novel Ph compds. I [D = (un)saturated 3 - 4 alkylene chain, containing 1 - 2 N, O and/or S {may be substituted with halogen, A, {C(R3)2}n-Ar, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2SO2A, COR2, SO2NR2, S(O)mA}; W = C(R2)2, {C(R2)2}2, OC(R2)2, NR2C(R2)2; X = CONR2, CONR2C(R3)2, C(R3)2NR2, C(R3)2NR2C(R3)2; Y = alkylene, cycloalklylene, Het-diyl, Ar-diyl; T = (un)substituted heterocycle containing 1 - 4 of N, O and/or S; A = (un)branched C1-6-alkyl {may contain O, S, CH:CH or substituted with 1 - 7 F}; R1 = H, halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R2 = H, A, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R3 = H, A; Ar = (un)substituted Ph, naphthyl, biphenyl {may be substituted with halogen, A, OR3, N(R3)2, NO2, CN, CO2R3, CON(R3)2, NR3COA, NR3CON(R3)2, NR3SO2A, COR3, SO2N(R3)2, SOmA}; Het = (un)saturated or aromatic heterocycle (containing 1 - 4 N, O and/or S and may be substituted with halogen, A, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA); Het1 = (un)saturated or aromatic heterocycle {containing 1 - 2 N, O and/or S and may be substituted with halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA}; halogen = Cl Br, F, I; n = 0 - 2; m = 0 - 2] are claimed. I and their pharmaceutically acceptable derivs., solvates, stereoisomers and their mixts., are inhibitors of coagulation factor Xa and can be used in the prophylaxis and/or therapy of thromboembolic diseases and in the treatment of tumors. Thus isoquinoline II was prepared from 7-hydroxyisoquinoline via O-alkylation with Me(CH2)2CHBrCO2Et, saponification, amidation with

1-(4-aminophenyl)piperidin-2-one, isoquinoline N-oxidation, isoquinoline N-oxide amination with pyridine, and reaction with ethanolamine. II was tested for thrombin receptor binding ability [IC50 = 3.5 x 10-7 M vs. FXa; IC50 = 2.2 x 10-7 M vs. TF]. I was used in the preparation of drug formulations (injections, suppositories, solns., solvates, tablets, etc.).

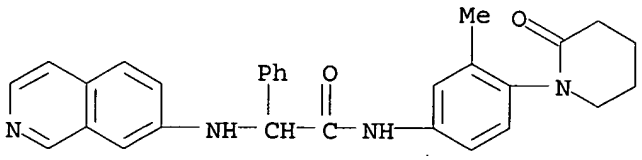
IT **498540-48-0P 498540-49-1P 498541-14-3P 498541-15-4P 498541-16-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic benzene derivs. as coagulation factor Xa inhibitors)

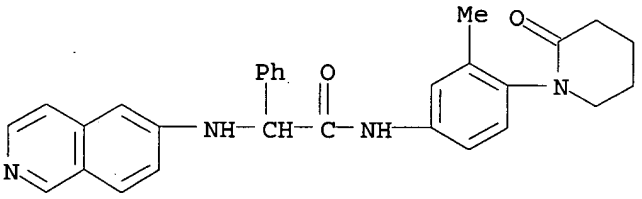
RN 498540-48-0 CAPLUS

CN Benzeneacetamide, α-(7-isoquinolinylamino)-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



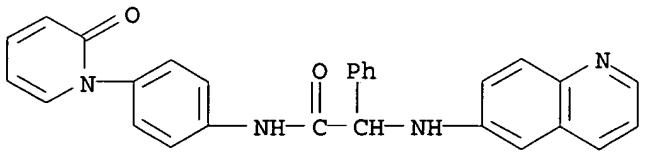
RN 498540-49-1 CAPLUS

CN Benzeneacetamide, α-(6-isoquinolinylamino)-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



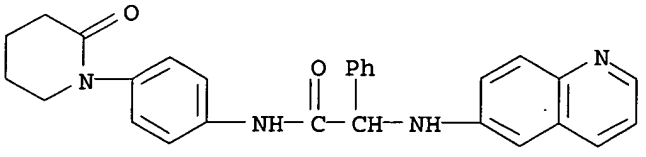
RN 498541-14-3 CAPLUS

CN Benzeneacetamide, N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-α-(6-quinolinylamino)- (9CI) (CA INDEX NAME)

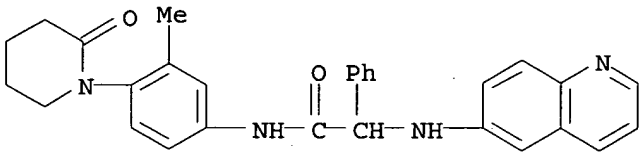


RN 498541-15-4 CAPLUS

CN Benzeneacetamide, N-[4-(2-oxo-1-piperidinyl)phenyl]-α-(6-quinolinylamino)- (9CI) (CA INDEX NAME)



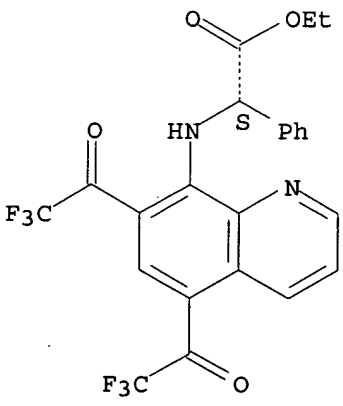
RN 498541-16-5 CAPLUS
CN Benzeneacetamide, N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-α-(6-quinolinylamino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:62198 CAPLUS
DOCUMENT NUMBER: 132:222464
TITLE: A facile and convenient synthetic method for fluorine-containing 1H-pyrrolo[3,2-h]quinolines
AUTHOR(S): Okada, Etsuji; Tsukushi, Norikado
CORPORATE SOURCE: Department of Chemical Science and Engineering, Faculty of Engineering, Kobe University, Kobe, 657-8501, Japan
SOURCE: Heterocycles (2000), 53(1), 127-134
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:222464
AB Aromatic nucleophilic N-N exchange reaction of N,N-dimethyl-5,7-bis(trifluoroacetyl)-8-quinolylamine with some amino acid derivs. gave the corresponding N-[5,7-bis(trifluoroacetyl)-8-quinolyl]amino acid derivs. in excellent yields. Subsequent base-catalyzed cyclization afforded fluorine-containing 1H-pyrrolo[3,2-h]quinolines in high yields.
IT **261350-61-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (fluoromethyl)pyrroloquinolines)
RN 261350-61-2 CAPLUS
CN Benzeneacetic acid, α-[[5,7-bis(trifluoroacetyl)-8-quinolinyl]amino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:27058 CAPLUS
DOCUMENT NUMBER: 126:148494
TITLE: Heterocycle-substituted benzenemethanamine derivatives
INVENTOR(S): Janssen, Marcel A. C.; Van Daele, Georges H. P.; Bosmans, Jean-Paul R. M. A.; Verdonck, Marc G. C.; Janssen, Paul A. J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. 5,480,997.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

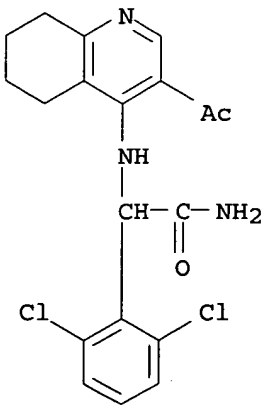
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5587387	A	19961224	US 1995-432748	19950502
US 5480997	A	19960102	US 1994-240737	19940512
US 5541215	A	19960730	US 1995-432757	19950502
US 5550135	A	19960827	US 1995-433910	19950502
US 5552430	A	19960903	US 1995-432751	19950502
US 5604230	A	19970218	US 1995-432750	19950502
PRIORITY APPLN. INFO.:			EP 1991-203431 A	19911230
			US 1994-240737 A2	19940512
			WO 1992-EP2993 W	19921222

OTHER SOURCE(S): MARPAT 126:148494

AB The present invention is concerned with antiretroviral heterocycle-substituted benzenemethanamine derivs. 2,6-Dichlorobenzaldehyde was treated with KCN, then with 2-chloro-3-nitropyridine to give 2,6-dichloro-α-[(3-nitro-2-pyridinyl)amino]benzeneacetamide (I). The in vitro 50 % cytotoxic dose and 50 % ED of I against HIV-1 transformed T4-cell line MT-4 was 35.8 and 0.03 μg/mL, resp. Formulations for oral and parenteral administration of the compds. were also provided.

IT **186591-54-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenemethanamine derivs. for inhibition of retrovirus)

RN 186591-54-8 CAPLUS
CN Benzeneacetamide, α-[(3-acetyl-5,6,7,8-tetrahydro-4-quinolinyl)amino]-2,6-dichloro- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:649848 CAPLUS
DOCUMENT NUMBER: 119:249848
TITLE: Heterocyclic-substituted benzylamine derivatives as antiretroviral compounds
INVENTOR(S): Janssen, Marcel August Constant; Van Daele, Georges Henri Paul; Bosmans, Jean Paul Rene Marie Andre; Verdonck, Marc Gustaaf Celine; Janssen, Paul Adriaan Jan
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9313069	A1	19930708	WO 1992-EP2993	19921222
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332580	A1	19930728	AU 1993-32580	19921222
AU 658260	B2	19950406		
EP 620811	A1	19941026	EP 1993-901757	19921222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07502523	T2	19950316	JP 1992-511436	19921222
HU 70515	A2	19951030	HU 1994-1380	19921222
CN 1073942	A	19930707	CN 1992-115151	19921229
CN 1034074	B	19970219		
ZA 9210078	A	19940629	ZA 1992-10078	19921229
IL 104257	A1	19961205	IL 1992-104257	19921229
US 5480997	A	19960102	US 1994-240737	19940512
FI 9403119	A	19940629	FI 1994-3119	19940629
NO 9402480	A	19940630	NO 1994-2480	19940630

PRIORITY APPLN. INFO.: EP 1991-203431 A 19911230
WO 1992-EP2993 A 19921222

OTHER SOURCE(S): MARPAT 119:249848
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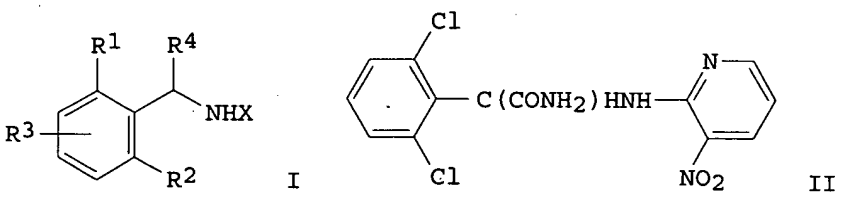


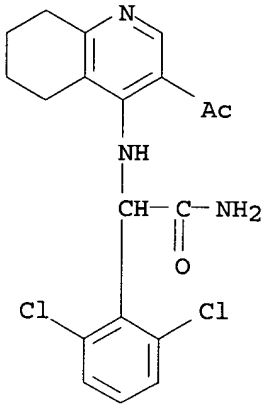
AB The title compds. I [R1, R2 = halogen, methyl; R3 = H, halogen, NO2, CF3; R4 = CF3, Ac, (un)substituted carbonylamino, (un)substituted thiocarbonylamino, C1-4 alkanediyl, C1-4 hydroxyalkanediyl; X = (un)substituted pyrazolyl, (un)substituted thiophenyl, (un)substituted pyrazinyl, (un)substituted pyridyl, etc.], which effectively inhibit the replication HIV, particularly HIV I, are prepared and I-containing

pharmaceutical formulations presented. Thus, α-amino-2,6-dichlorobenzeneacetonitrile hydrochloride was oxidized to α-amino-2,6-dichlorobenzeneacetamide hydrochloride, which was reacted with 2-chloro-3-nitropyridine, producing pyridinyl derivative II, m.p. 207.2°. II demonstrated 50% ED against HIV-infected T4 cells of 0.03 μg/mL.

IT **186591-54-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)

RN 186591-54-8 CAPLUS

CN Benzeneacetamide, α-[(3-acetyl-5,6,7,8-tetrahydro-4-quinolinyl)amino]-2,6-dichloro- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:649710 CAPLUS

DOCUMENT NUMBER: 119:249710

TITLE: α-substituted benzenemethanamine antiviral derivatives

INVENTOR(S): Janssen, Marcel August Constant; Van Daele, Georges Henri Paul; Bosmans, Jean Paul Rene Marie Andre; Van den Keybus, Frans Maria Alfons; Nuyens, Karin Josepha Malvina Maria; Janssen, Paul Adriaan Jan

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9313052	A1	19930708	WO 1992-EP2995	19921222
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332581	A1	19930728	AU 1993-32581	19921222
AU 664874	B2	19951207		
EP 620809	A1	19941026	EP 1993-901721	19921222
EP 620809	B1	19970305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

HU 67030	A2	19950130	HU 1994-1381		19921222
JP 07502524	T2	19950316	JP 1992-511437		19921222
AT 149484	E	19970315	AT 1993-901721		19921222
CN 1073936	A	19930707	CN 1992-115152		19921229
CN 1033451	B	19961204			
ZA 9210079	A	19940629	ZA 1992-10079		19921229
IL 104258	A1	19970415	IL 1992-104258		19921229
US 5407961	A	19950418	US 1994-240735		19940512
FI 9403120	A	19940629	FI 1994-3120		19940629
NO 9402481	A	19940630	NO 1994-2481		19940630
US 5480912	A	19960102	US 1995-400218		19950307
PRIORITY APPLN. INFO.:			EP 1991-203430	A	19911230
			DE 1991-9120343	U	19911230
			WO 1992-EP2995	A	19921222
			US 1994-240735	A3	19940512

OTHER SOURCE(S): MARPAT 119:249710

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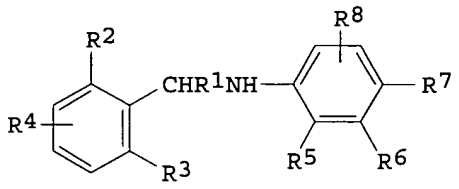

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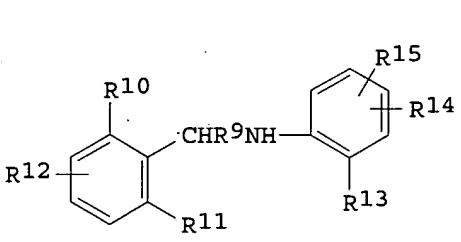

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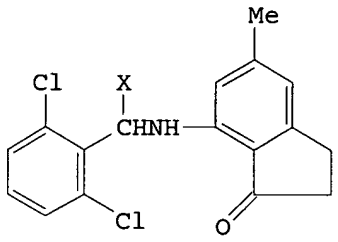


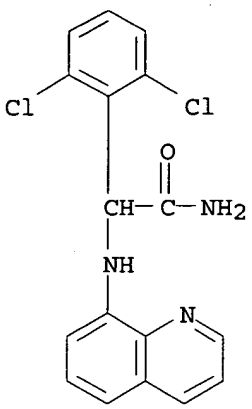
III

AB The title compds. I [R1 = CF3, methylcarbonyl, C3-6 cycloalkyl, (un)substituted carbonylamino or thiocarbonylamino; R2, R3 = halogen, methyl; R4 = H, OH, halogen, NO2, CF3; R8 = H, C1-6 alkoxy, C1-6 alkyl, halogen, NO2, aminocarbonyl, etc.; R7 = H in which case R5R6 = (un)substituted bivalent radical; R6R7 = (un)substituted (CH2)m in which case R5 = H, C1-6 alkoxy, C1-6 alkyl, halogen, NO2, etc.; m = 3,4] or II (R9 = CF3, MeCO, C3-6 cycloalkyl, etc.; R10, R11 = halogen, methyl; R12 = H, HO, halogen, NO2, CF3; R13 = C1-6 alkoxy, NO2, F3CO, 2,2,2-trifluoroethoxy, etc.; R14, R15 = H, halogen, C1-4 alkyl, NO2, C1-4 alkoxy, CF3), useful in the treatment of retroviruses (e.g., HIV-1), are prepared and I- and II-containing pharmaceutical formulations are presented. Thus, benzenemethanamine III (X = CN) was oxidized in the presence of formic acid and HCl, producing III (X = CONH2) (IV) (m.p. 249.5°). Product IV demonstrated 50% protection concentration against HIV-1-transformed T4 cells of 0.0038 μg/mL.

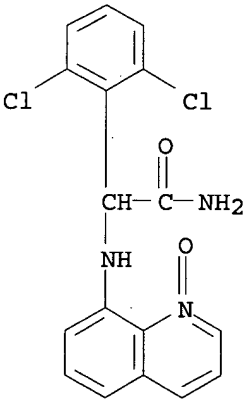
IT **150806-22-7P 150806-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)

RN 150806-22-7 CAPLUS

CN Benzeneacetamide, 2,6-dichloro-α-(8-quinolinylamino)- (9CI) (CA INDEX NAME)



RN 150806-26-1 CAPLUS
CN Benzeneacetamide, 2,6-dichloro-α-[(1-oxido-8-quinolinyl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:406315 CAPLUS
DOCUMENT NUMBER: 113:6315
TITLE: Preparation of quinolines and naphthyridines as drugs with affinity for benzodiazepine peripheral receptors
INVENTOR(S): Mendes, Etienne; Vernieres, Jean Claude; Keane, Peter Eugene; Bachy, Andre
PATENT ASSIGNEE(S): SANOFI, Fr.
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 346208	A1	19891213	EP 1989-401548	19890605
EP 346208	B1	19940413		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2632305	A1	19891208	FR 1988-7498	19880606
FR 2632305	B1	19920515		
FR 2632861	A1	19891222	FR 1988-8025	19880615

FR 2632861	B1	19901109			
DK 8902736	A	19891207	DK 1989-2736		19890602
JP 02032058	A2	19900201	JP 1989-143956		19890605
JP 2766672	B2	19980618			
ZA 8904250	A	19910227	ZA 1989-4250		19890605
AT 104282	E	19940415	AT 1989-401548		19890605
ES 2063153	T3	19950101	ES 1989-401548		19890605
CA 1337073	A1	19950919	CA 1989-601729		19890605
AU 8936035	A1	19891207	AU 1989-36035		19890606
AU 624825	B2	19920625			
US 5026711	A	19910625	US 1989-362105		19890606
PRIORITY APPLN. INFO.:			FR 1988-7498	A	19880606
			FR 1988-8025	A	19880615
			EP 1989-401548	A	19890605

OTHER SOURCE(S): CASREACT 113:6315; MARPAT 113:6315

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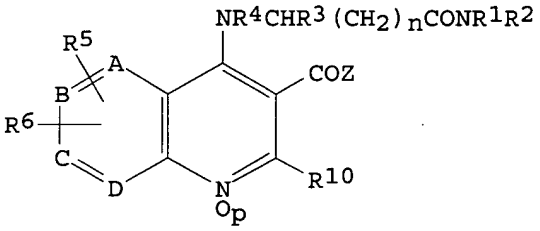


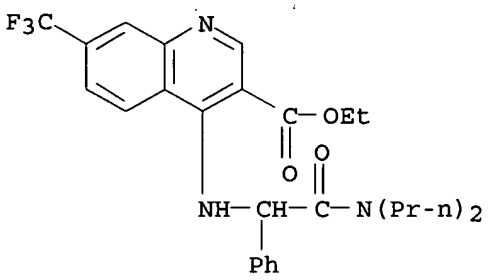
I

AB The title compds. I (R1, R2 = H, C1-6 alkyl, C2-6 alkenyl, Ph, PhCH2; or NR1R2 = heterocyclyl; R3 = H, C1-6 alkyl, Ph, etc.; R4 = H, C1-4 alkyl; R5, R6 = H, halo, C1-3 alkyl, alkoxy, etc.; or R5R6 = methylenedioxy; Z = OR7; R7 = H, C1-6 alkyl, NR8R9, etc.; R8, R9 = H, C1-4 alkyl, Ph, PhCH2, etc.; R10 = H, C1-4 alkyl, Ph; n = 0-2; p = 0 or 1; 1 of A, B, C, D, is N, the others are CH; or A, B, C, D = CH) were prepared Reaction of Et 4-chloro-7-trifluoromethylquinoline-3-carboxylate with N,N-dipropyl-2-aminopropanamide in the presence of Et3N gave I (R1 = R2 = Pr, R3 = Me, R4 = H, p = 0, R5 = R10 = H, R6 = 7-CF3, Z = OEt, n = 0, A = B = C = D = CH) (II). II exhibited an IC50 of 3 mM in an in vitro test for inhibition of affinity of PK 11195 for peripheral benzodiazepine receptors, .

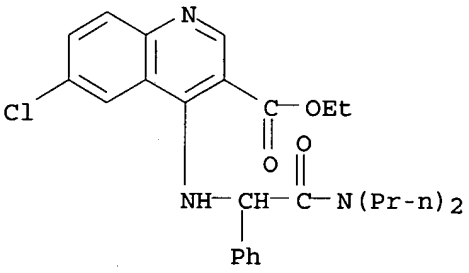
IT **127447-28-3P 127447-29-4P 127447-74-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as drug with affinity for peripheral benzodiazepine receptor)

RN 127447-28-3 CAPLUS

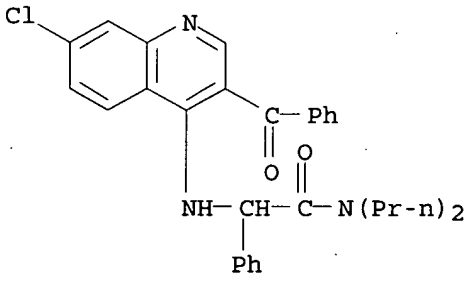
CN 3-Quinolinecarboxylic acid, 4-[[2-(dipropylamino)-2-oxo-1-phenylethyl]amino]-7-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 127447-29-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-chloro-4-[[2-(dipropylamino)-2-oxo-1-phenylethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 127447-74-9 CAPLUS
CN Benzeneacetamide, α-[(3-benzoyl-7-chloro-4-quinolinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1990:7449 CAPLUS
DOCUMENT NUMBER:	112:7449
TITLE:	Synthesis of heterocyclic compounds isosterically related to pyrazolo[4,3-c]quinolines as benzodiazepine receptor ligands
AUTHOR(S):	Shindo, Hirohisa; Fujishita, Toshio; Sasatani, Takashi; Chomei, Nobuo; Takada, Susumu
CORPORATE SOURCE:	Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan
SOURCE:	Heterocycles (1989), 29(5), 899-912 CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 112:7449

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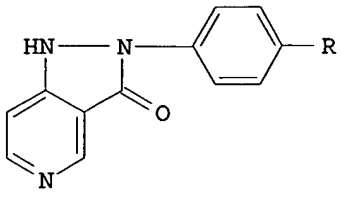

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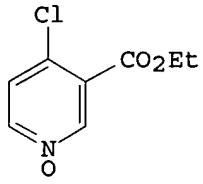


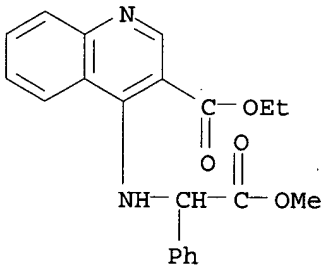
II

AB Fused pyridine and pyrimidine derivs. have been synthesized which are isosterically related to pyrazolo[4,3-c]quinolines with the high affinity to the benzodiazepine receptor. Thus, pyrazolopyridines I (R = H, Cl) were prepared from Et 4-chloronicotinate N-oxide (II).

IT **124031-18-1P 124031-19-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

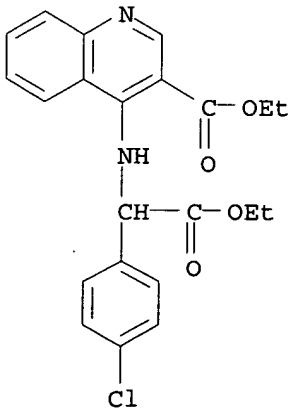
RN 124031-18-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 4-[(2-methoxy-2-oxo-1-phenylethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 124031-19-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 4-[[1-(4-chlorophenyl)-2-ethoxy-2-oxoethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



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L4             9 S L3

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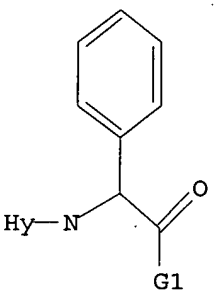


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